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Histamine receptors in GtoPdb v.2023.1

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Abstract

Histamine receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on Histamine Receptors [80, 174]**) are activated by the endogenous ligand histamine. Marked species differences exist between histamine receptor orthologues [80]. The human and rat H_3 receptor genes are subject to significant splice variance [12]. The potency order of histamine at histamine receptor subtypes is $H_3 = H_4 > H_2 > H_1$ [174]. Some agonists at the human H_3 receptor display significant ligand bias [183]. Antagonists of all 4 histamine receptors have clinical uses: H_1 antagonists for allergies (*e.g.* cetirizine), H_2 antagonists for acid-reflux diseases (*e.g.* ranitidine), H_3 antagonists for narcolepsy (*e.g.* pitolisant/WAKIX; Registered) and H_4 antagonists for atopic dermatitis (*e.g.* adriforant; Phase IIa) [174] and vestibular neuritis (AUV) (SENS-111 (Seliforant, previously UR-63325), entered and completed vestibular neuritis (AUV) Phase IIa efficacy and safety trials, respectively) [217, 8].

Contents

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